	From the INTERNATIONAL BUREAU		
PCT	То:		
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)  Date of mailing (day/month/year) 04 December 2001 (04.12.01)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Department CH-4002 Basel SUISSE		
Applicant's or agent's file reference	INTO DE ANT NOTIFICATION		
4 -30970A/HO 17	IMPORTANT NOTIFICATION		
International application No. PCT/EP00/05058	International filing date (day/month/year) 02 June 2000 (02.06.00)		
The following indications appeared on record concerning:     X the applicant     X the inventor	the agent the common representative		
Name and Address BEATTIE, David 13 Thelton Avenue	State of Nationality State of Residence GB GB Telephone No.		
Broadbridge Heath Horsham West Sussex RH12 3LS United Kingdom	Facsimile No.  Teleprinter No.		
The International Bureau hereby notifies the applicant that the person the name X the additional that the person the name X the additional that the person the name X the additional that the person the name X the person that the person the name X the person that the	ne following change has been recorded concerning:		
Name and Address	State of Nationality State of Residence  GB GB		
BEATTIE, David Novartis Horsham Research Centre Wimblehurst Road Horsham, West Sussex RH12 5AB	Telephone No.		
United Kingdom	Facsimile No.		
	Teleprinter No.		
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office	the designated Offices concerned		
the International Searching Authority	X the elected Offices concerned		
the International Preliminary Examining Authority	other:		
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Anman QIU		
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38		

# Copy for the Elected Office (EO/US) T COOPERATION TREAT

	From th	INTERNATIONAL BL	JREAU
РСТ	То:		
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)  Date of mailing (day/month/year)	Nova Corpo Paten	ER, Konrad tis AG rate Intellectual Prope t & Trademark Depart 02 Basel SE	
03 December 2001 (03.12.01)			
Applicant's or agent's file reference 4 -30970A/HO 17		IMPORTANT NOTI	
International application No. PCT/EP00/05058	1	al filing date (day/month/ye ne 2000 (02.06.00)	ear)
The following indications appeared on record concerning:      X the applicant     X the inventor	the agent	the commo	on representative
Name and Address  FAIRHURST, Robin, Alec 5 Greenacres Ashington West Sussex RH20 3LR United Kingdom		State of Nationality GB Telephone No. Facsimile No.	State of Residence GB
		Teleprinter No.	
The International Bureau hereby notifies the applicant that the the person the name X the additional than the person The name X the additional than the person The name T		the nationality	concerning: the residence
Name and Address FAIRHURST, Robin, Alec		State of Nationality  GB	State of Residence GB
Novartis Horsham Research Centre Wimblehurst Road Horsham, West Sussex EH12 5AB		Telephone No.	
United Kingdom		Facsimile No.	
		Teleprinter No.	
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office		the designated Offices	
the International Searching Authority the International Preliminary Examining Authority		X the elected Offices colors	ncerned
the international Frenitinary Examining Authority			
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized	Anman QIU	
Facsimile No.: (41-22) 740.14.35	Telephone	No.: (41-22) 338.83.38	20450000

# Copy for the Elected Office (EO/US)

	From the INTERNATIONAL BUREAU		
PCT	То:		
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)  Date of mailing (day/month/year) 05 December 2001 (05.12.01)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Department CH-4002 Basel SUISSE		
Applicant's or agent's file reference 4 -30970A/HO 17	IMPORTANT NOTIFICATION		
International application No. PCT/EP00/05058	International filing date (day/month/year) 02 June 2000 (02.06.00)		
The following indications appeared on record concerning:      The applicant      The inventor      The following indications appeared on record concerning:      The inventor      The inventor	the agent the common representative		
Name and Address  BRUCE, Ian 9 Bourns Court Ayshe Court Drive Horsham West Sussex RH13 5RL United Kingdom	State of Nationality GB GB Telephone No.  Facsimile No.  Teleprinter No.		
2. The International Bureau hereby notifies the applicant that the the person			
Name and Address BRUCE, Ian	State of Nationality State of Residence  GB GB		
Novartis Horsham Research Centre Wimblehurst Road Horsham, West Sussex RH12 5AB United Kingdom	Telephone No.  Facsimile No.		
Officed Kingdom	Teleprinter No.		
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office	the designated Offices concerned		
the International Searching Authority the International Preliminary Examining Authority	X the elected Offices concerned other:		
the International Preliminary Examining Authority			
The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Anman QIU		
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38		

### PA NT COOPERATION TREAT.

y3	From the INTERNATIONAL BUREAU		
PCT	То:		
NOTIFICATION OF THE RECORDING OF A CHANGE  (PCT Rule 92bis.1 and Administrative Instructions, Section 422)  Date of mailing (day/month/year) 21 September 2001 (21.09.01)	BECKER, Konrad Novartis AG Corporate Intellectual Property Patent & Trademark Department CH-4002 Basel SUISSE		
Applicant's or agent's file reference	IMPORTANT NOTIFICATION		
4 -30970A/HO 17	INFORTANT NOTIFICATION		
International application No. PCT/EP00/05058	O2 June 2000 (02.06.00)		
The following indications appeared on record concerning:      X the applicant the inventor	the agent the common representative		
Name and Address	State of Nationality State of Residence CH CH		
NOVARTIS AG Schwarzwaldallee 215	CH CH Telephone No.		
CH-4058 Basel Switzerland	Total priorite 146.		
· · · · · · · · · · · · · · · · · · ·	Facsimile No.		
	T-locioso No		
	Teleprinter No.		
2. The International Bureau hereby notifies the applicant that th	e following change has been recorded concerning:		
the person the name X the add			
Name and Address	State of Nationality State of Residence		
NOVARTIS AG Lichtstrasse 35	CH CH Telephone No.		
CH-4056 Basel Switzerland	Telephone No.		
7.	Facsimile No.		
	Tologiasa No.		
	Teleprinter No.		
3. Further observations, if necessary:			
4. A copy of this notification has been sent to:			
X the receiving Office	the designated Offices concerned		
the International Searching Authority	X the elected Offices concerned		
the International Preliminary Examining Authority	other:		
The International Program of WIDO	Authorized officer		
The International Bureau of WIPO 34, chemin des Colombettes	Dominique DELMAS		
1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38		

### PA . \_NT COOPERATION TREAT\

### **PCT**

### **NOTIFICATION OF ELECTION**

(PCT Rule 61.2)

### From the INTERNATIONAL BUREAU

Commissioner **US Department of Commerce** United States Patent and Trademark

Office, PCT 2011 South Clark Place Room

CP2/5C24

Arlington, VA 22202

Date of mailing (day/month/year) 11 January 2001 (11.01.01)	ETATS-UNIS D'AMERIQUE in its capacity as elected Office
International application No.	Applicant's or agent's file reference
PCT/EP00/05058	4 -30970A/HO 17
International filing date (day/month/year)	Priority date (day/month/year)
02 June 2000 (02.06.00)	04 June 1999 (04.06.99)
Applicant	
CUENOUD, Bernard et al	

	. The designated Office is hereby notified of its election made:	
	X in the demand filed with the International Preliminary Examining Authority on:	
	04 December 2000 (04.12.00)	
	in a notice effecting later election filed with the International Bureau on:	-
	. The election X was	
	was not	
	made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).	
L		

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland

Authorized officer

Pascal Piriou

Telephone No.: (41-22) 338.83.38

### **PCT**

REC'D	29	MAY	2001
WIPO			PCT

### INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's	or ag	ent's file reference	T			
4-30970	_		FOR FURTHER A			ation of Transmittal of International Examination Report (Form PCT/IPEA/416)
Internation	al app	lication No.	International filing date	(day/month/ye	ar)	Priority date (day/month/year)
PCT/EP	00/05	5058	02/06/2000			04/06/1999
International C07D21		ent Classification (IPC) or nat	ional classification and IP	PC .		
Applicant						
NOVART	ΓIS A	.G et al.				
1. This i	ntern s tran	ational preliminary exami smitted to the applicant a	nation report has been ccording to Article 36.	prepared by	this Inter	rnational Preliminary Examining Authority
2. This F	REPC	ORT consists of a total of	6 sheets, including thi	s cover shee	t.	
b (s	een a see R	eport is also accompanied amended and are the basi sule 70.16 and Section 60 exes consist of a total of	is for this report and/or 7 of the Administrative	sheets cont	aining red	n, claims and/or drawings which have ctifications made before this Authority e PCT).
3. This re	eport	contains indications relat	ing to the following iter	ns:		
1	$\boxtimes$	Basis of the report				
11		Priority				
III		Non-establishment of op	inion with regard to no	velty, invent	ive step a	and industrial applicability
IV		Lack of unity of invention				•
V	Ø	Reasoned statement uncitations and explanation	der Article 35(2) with rens suporting such state	egard to nove ement	elty, inver	ntive step or industrial applicability;
VI		Certain documents cited	Ė			
VII		Certain defects in the int	ernational application			
VIII	×	Certain observations on	the international applic	cation		
Date of subr	nissio	n of the demand	1	Date of comp	pletion of th	nis report
04/12/200	)0			25.05.2001		
preliminary e	examir	address of the international ning authority:		Authorized o	fficer	E SEPTIME DES MODIFICIA

Timmermans, M

Telephone No. +49 89 2399 8940

Tel. +49 89 2399 - 0 Tx: 523656 epmu d

D-80298 Munich

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/05058

I.	Ba	sis fth rprt	
1.	the an	e receiving Office in	ments of the international application (Replacement sheets which have been furnished to response to an invitation under Article 14 are referred to in this report as "originally filed" o this report since they do not contain amendments (Rules 70.16 and 70.17)):
	1-4	8	as originally filed
	Cla	aims, No.:	
	1-1	6	as originally filed
2.	Wit	h regard to the <b>lang</b> guage in which the	guage, all the elements marked above were available or furnished to this Authority in the international application was filed, unless otherwise indicated under this item.
	The	ese elements were a	available or furnished to this Authority in the following language: , which is:
		the language of a	translation furnished for the purposes of the international search (under Rule 23.1(b)).
			ablication of the international application (under Rule 48.3(b)).
			translation furnished for the purposes of international preliminary examination (under Rule
3.	Witi	h regard to any <b>nuc</b> rnational preliminar	leotide and/or amino acid sequence disclosed in the international application, the yexamination was carried out on the basis of the sequence listing:
		contained in the in	ternational application in written form.
		filed together with	the international application in computer readable form.
		furnished subsequ	ently to this Authority in written form.
		furnished subsequ	ently to this Authority in computer readable form.
		The statement that the international ap	the subsequently furnished written sequence listing does not go beyond the disclosure in oplication as filed has been furnished.
		The statement that listing has been fur	the information recorded in computer readable form is identical to the written sequence nished.
4.	The	amendments have	resulted in the cancellation of:
		the description,	pages:
		the claims,	Nos.:
		the drawings,	sheets:
5.		This report has bee	en established as if (some of) the amendments had not been made, since they have been eyond the disclosure as filed (Rule 70.2(c)):



International application No. PCT/EP00/05058

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

- 6. Additional observations, if necessary:
- V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- 1. Statement

Novelty (N)

Yes:

Claims 1-16

No: Claims

Inventive step (IS)

Yes:

Claims 4, 5,7,8,12

No:

Claims 1-3,6,9-11,13-16

Industrial applicability (IA)

Yes: Claims 1-16

No: Claims

2. Citations and explanations see separate sheet

### VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made: see separate sheet

#### R It m V

Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. The following documents are relevant:

D1: EP-A-0 882 704

D2: WO 99 09001

D3: WO 93 18007

D4: EP-A-0 894 787

D5: WO 93 15041

D6: WO 92 18461

2. The novelty of the subject-matter of the present application is acknowledged. (Art. 33(2) PCT).

The compounds which are the object of the present application differ from the products disclosed in D1-D4 and D6 by having a benzocyclopentanyl (indane) or benzocycloheptanyl backbone instead of a tetrahydronaphthalenyl one. There is a overlap between the compounds of the present application and those disclosed in D5 (see D5, claim 1) but D5 discloses only 7-benzylaminobenzocycloheptene derivatives (such as D5, preparation 33, page 62) and none N-arylethylsubstituted 2-aminoindane or 7-aminobenzocycloheptanyl compounds. Moreover D5 does not disclose the β2-agonist activity of the compounds of the present application.

- 3. Claims 1-3, 6, 9-11 and 13-16 of the present application are considered as lacking an inventive step (Art. 33(3) PCT).
- 3.1 Document D1, which is considered to represent the most relevant state of the art, discloses 3,4-disubstituted phenylethanolaminotetralincarboxamide derivatives such as 2-[(2S-2-[[2RS-2-hydroxy-2-(4-hydroxy-3-hydroxymethylphenyl)ethyl]amino]-1,2,3,4-tetrahydronaphthalen-7-yloxy]-N,N-dimethylacetamide (see D1, example 1, page 22) having β2-agonist activity from which the compounds of the present application differs at least in that they possess an indane or

benzocycloheptanyl backbone.

The technical problem underlying the application can thus be seen as the provision of alternative β2-agonist derivatives.

Considering the structural differences existing between the compounds object of the present invention and those disclosed in the available prior art, it was not obvious that the claimed products would have the desired β2-agonist properties. An inventive step can thus be acknowledged for the compounds which were shown to possess the desired activity (as examplified on bridging § pages 14-15).

Claims 4, 5, 7, 8 and 12 are thus considered as involving an inventive step.

3.2 However, it must be reminded that the breadth of the claims should be such that it represents a reasonable generalisation over the examples provided, and such that every compound falling within its scope actually provides a solution to the problem underlying the invention.

The expressions in the claims "alkyl", "alkyl interrupted..hetero atoms", "alkenyl", "aryl", "carbocyclic or heterocyclic ring" are non-limitative and are therefore not regarded as obvious modifications or equivalents of the examples which have been given in the description. Accordingly the said expressions should be restricted in this respect to the particular meanings specified in the description pages 2-3.

It is also clear from the examples that the Ar group is always a quinolin-2-one or a substituted phenyl group. The Applicant has not indicated why it is believed that the claimed non-examplified compounds which cannot be considered as obvious equivalents of the examplified ones (i.e. Ar being benzoimidazolidinone, benzomorpholinone..) should possess the desired \( \beta 2-\text{agonist properties.} \)

Claims 1-3, 6, 9-11 and 13-16 are thus considered as lacking an inventive step.

4. The industrial applicability of the present application is acknowledged (Art. 33(4) PCT).

### INTERNATIONAL PRELIMINARY

International application No. PCT/EP00/05058

### **EXAMINATION REPORT - SEPARATE SHEET**

### R It m VIII

Certain observations on the international application

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art 1. disclosed in the documents D1-D6 is not mentioned in the description, nor are these documents identified therein.

optication No PCT/EP 00/05058

A CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D215/26 A61K31/395

C07D215/22 C07C215/80 A61P43/00 C07C311/08 C07D405/12

C07C233/43

According to International Patent Classification (IPC) or to both national classification and IPC

### B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols) TPC 7 C07D A61K A61P C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT			
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.	
Y	EP 0 882 704 A (KISSEI PHARMACEUTICAL CO., LTD) 9 December 1998 (1998-12-09) page 2, line 5 - line 24 page 3, line 25 - line 31; claim 1	1,13-15	
Y	WO 99 09001 A (KISSEI PHARMACEUTICAL CO., LTD) 25 February 1999 (1999-02-25) * abstract *	1,13-15	
Y	WO 93 18007 A (TOKYO TANABE COMPANY LTD.) 16 September 1993 (1993-09-16) * abstract *	1,13-15	
A	EP 0 894 787 A (KISSEI PHARMACEUTICAL CO., LTD) 3 February 1999 (1999-02-03) page 4	1,13-15	

X Further documents are listed in the continuation of box C.	Patent family members are listed in annex.
Special categories of cited documents:  A document defining the general state of the art which is not considered to be of particular relevance  E earlier document but published on or after the international filling date  L document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)  O document referring to an oral disclosure, use, exhibition or other means  P document published prior to the international filing date but later than the priority date claimed	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention  "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone  "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person eldied in the art.  "&" document member of the same patent family
Date of the actual completion of the international search	Date of mailing of the international search report
28 September 2000	18/10/2000
Name and mailing address of the ISA  European Patent Office, P.B. 5818 Patentiaan 2  NL – 2280 HV Rijswijk  Tel. (+31-70) 340–2040, Tx. 31 651 epo nl. Fax: (+31-70) 340–3016	Authorized officer  Van Bijlen, H



tri .:idonal Application No PCT/EP 00/05058

		PCI/EP 00	7 03038
(Continue	ntion) DOCUMENTS CONSIDERED TO BE RELEVANT		
ategory *	Citation of document, with indication, where appropriate, of the relevant passages		Relevant to claim No.
A	WO 93 15041 A (FUJISAWA PHARMACEUTICAL CO.,LTD.) 5 August 1993 (1993-08-05) page 35, line 30 -page 36, line 12; claim 1		1,13
A	WO 92 18461 A (FUJISAWA PHARMACEUTICAL CO.,LTD.) 29 October 1992 (1992-10-29) page 1 -page 2; claim 1 		1,13

### INTERNATION

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### SEARCH REPORT

int Jonal Application No PCT/EP 00/05058

Information on patein tamily members

Patent document		Publication date		Patent family member(s)	Publication date
		<u> </u>			00.00.100
EP 882704	Α	09-12-1998	AU	2001497	
			BR	9707566	
			NO	983777	
			CA	2245490	
			CN	1216526	
			CZ	9802523	A 16-12-199
			HU	9900621	A 30-08-199
			WO	9730023	
			PL	328530	
WO 9909001	A	25-02-1999	AU	8562098	A 08-03-199
	• •	20 02 2000	ZA	9807425	
WO 9318007	A	16-09-1993	AU	3648793	A 05-10-199
EP 894787	Α	03-02-1999	JP	9255637	
			AU	2176197	A 17–10–199
			WO	9735835	A 02-10-199
WO 9315041	Α	05-08-1993	AT	156804	Г 15-08-199
			AU	3367993	A 01-09-199
			CA	2107566	
			CN	1084846	
			DE	69313051	
			DE	69313051	
			DK	583485	
				0583485	
			EP		
			E\$	2105286	
			GR		Т 30-01-199
			HK	1000768	
			HU	65351	
			IL	104567	
			JP	11092432	
			JP	2819435	B 30-10-199
			JP	6506955	T 04-08-199
			MX	9300552	
			RU	2125983	
			ÜS	5387710	
			ZA	9300591	
WO 9218461	Α	29-10-1992	AT	157080	 Г 15 <b>-</b> 09-199
HO 3210401	^	LJ 10 1JJL	DE	69221721	
			DK	579833	
			EP	0579833	
			JP	6506676	
			10		

## QL

### NT COOPERATION TREATY

### **PCT**

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### **INTERNATIONAL SEARCH REPORT**

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference	FOR FURTHER see Notification (Form PCT/ISA	of Transmittal of International Search Report /220) as well as, where applicable, item 5 below.
4 -30970A/H0 17 International application No.	International filing date (day/month/year)	(Earliest) Priority Date (day/month/year)
PCT/EP 00/05058	02/06/2000	04/06/1999
Applicant		
NOVARTIS AG et al.		
This International Search Report has been according to Article 18. A copy is being tra	n prepared by this International Searching Au ansmitted to the International Bureau.	ithority and is transmitted to the applicant
This International Search Report consists  X It is also accompanied by	of a total of sheets. a copy of each prior art document cited in thi	is report.
Basis of the report		
	international search was carried out on the bases otherwise indicated under this item.	asis of the international application in the
the international search w Authority (Rule 23.1(b)).	as carried out on the basis of a translation of	the international application furnished to this
was carried out on the basis of the		international application, the international search
	rnational application in computer readable for	rm.
furnished subsequently to	this Authority in written form.	
furnished subsequently to	this Authority in computer readble form.	
	psequently furnished written sequence listing s filed has been furnished.	does not go beyond the disclosure in the
the statement that the info furnished	ormation recorded in computer readable form	is identical to the written sequence listing has been
2. Certain claims were fou	nd unsearchable (See Box I).	
3. Unity of Invention is laci	king (see Box II).	•
4. With regard to the title,		
the text is approved as su	bmitted by the applicant.	
X the text has been establis BETA2-ADRENOCEPTOR AGO	hed by this Authority to read as follows:  ONISTS	
C Milate and another the attention		
5. With regard to the abstract, the text is approved as su	hmitted by the applicant	
the text has been established	• • • • • • • • • • • • • • • • • • • •	rity as it appears in Box III. The applicant may, port, submit comments to this Authority.
6. The figure of the <b>drawings</b> to be publi	shed with the abstract is Figure No.	-
as suggested by the appli	cant.	None of the figures.
because the applicant faile	**	
because this figure better	characterizes the invention.	

International application No.

T/EP 00/05058

Box III TEXT OF THE ABSTRACT (Continuation of item 5 of the first she t)

Compounds of formula

in free or salt or solvate form, where

Ar is a group of formula

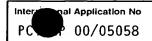
11

Y is carbon or nitrogen and R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, X, n, p, q and r are as defined in the specification, their preparation and their use as pharmaceuticals, particularly for the treatment of obstructive or inflammatory airways diseases.

The compounds of formula I in free, salt or solvate form, have \( \beta 2-\) adrenoreceptor agonist activity.

Form PCT/ISA/210 (continuation of first sheet (2)) (July 1998)

#### INTERNATIONAL SEARCH REPORT



A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D215/26 A61K31/395

C07D215/22

C07C215/80

A61P43/00 C07C311/08

C07D405/12

C07C233/43

According to International Patent Classification (IPC) or to both national classification and IPC

#### B. FIELDS SEARCHED

 $\frac{\text{Minimum documentation searched (classification system followed by classification symbols)}}{IPC~7~C07D~A61K~A61P~C07C}$ 

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

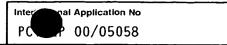
CHEM ABS Data

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 0 882 704 A (KISSEI PHARMACEUTICAL CO., LTD) 9 December 1998 (1998-12-09) page 2, line 5 - line 24 page 3, line 25 - line 31; claim 1	1,13-15
Υ	WO 99 09001 A (KISSEI PHARMACEUTICAL CO., LTD) 25 February 1999 (1999-02-25) * abstract *	1,13-15
Υ	WO 93 18007 A (TOKYO TANABE COMPANY LTD.) 16 September 1993 (1993-09-16) * abstract *	1,13-15
А	EP 0 894 787 A (KISSEI PHARMACEUTICAL CO., LTD) 3 February 1999 (1999-02-03) page 4	1,13-15
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<ul> <li>Special categories of cited documents:</li> <li>"A" document defining the general state of the art which is not considered to be of particular relevance</li> <li>"E" earlier document but published on or after the international filing date</li> <li>"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)</li> <li>"O" document referring to an oral disclosure, use, exhibition or other means</li> <li>"P" document published prior to the international filing date but later than the priority date claimed</li> </ul>	"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention  "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone  "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.  "&" document member of the same patent family
Date of the actual completion of the international search  28 September 2000	Date of mailing of the international search report $18/10/2000$
Name and mailing address of the ISA  European Patent Office, P.B. 5818 Patentlaan 2  NL - 2280 HV Rijswijk  Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  Fax: (+31-70) 340-3016	Authorized officer  Van Bijlen, H

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